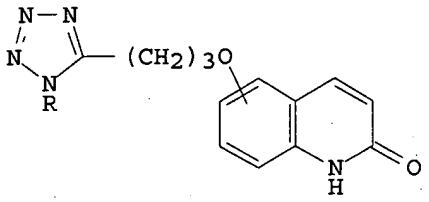
ACCESSION NUMBER: 95:126250 CA
TITLE: Carbostyril derivatives as phosphodiesterase inhibitors
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 56045414 | A2 | 19810425 | JP 1979-121262 | 19790919 |
| JP 62058336 | B4 | 19871205 | | |

GI

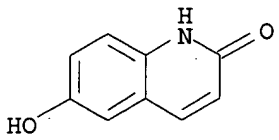


I

AB Tetrazolylpropoxycarbostyril derivs. (I, R = lower alkyl or cycloalkyl) are phosphodiesterase [9025-82-5] inhibitors, useful as antihypertensives, and improve blood circulation. Thus, 6-[3-(1-cyclohexyltetrazol-5-yl)propoxy]carbostyril (II) [**73963-46-9**] was synthesized by treating 6-hydroxycarbostyril [**19315-93-6**] with 1-cyclohexyl-5-(.gamma.-chloropropyl)tetrazole [**73963-29-8**], prepd. by cyclization of N-(.gamma.-chlorobutyl)cyclohexylamine [78730-53-7] in the presence of hydrazoic acid. II at 10-9M inhibited phosphodiesterase 92.9% in the enzyme prepn. from isolated blood platelets. I.v. injection of II at 30 .mu.g/kg into dogs increased blood circulation in the brain 36.9%. Antihypertensive activity of II (30 mg/kg, orally) in rats was also demonstrated.

IT **19315-93-6**
RL: BIOL (Biological study)
(condensation of, with cyclohexyl(chloropropyl)tetrazole)

RN 19315-93-6 CA
CN 2(1H)-Quinolinone, 6-hydroxy- (9CI) (CA INDEX NAME)

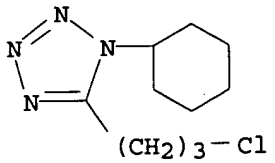


IT **73963-29-8**
RL: BIOL (Biological study)

(condensation of, with hydroxycarbostyril)

RN 73963-29-8 CA

CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



IT **73963-46-9P 73963-60-7P 73963-61-8P**
**73963-77-6P 73963-91-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as phosphodiesterase inhibitor)

RN 73963-46-9 CA

CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)